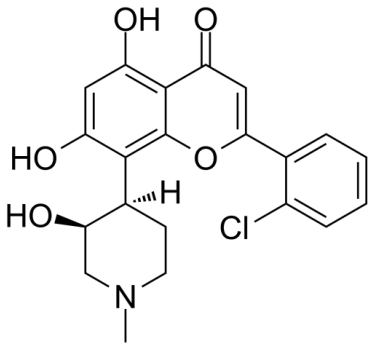
Data Sheet

Product Name:	Flavopiridol
Cat. No.:	CS-0018
CAS No.:	146426-40-6
Molecular Formula:	C21H20ClNO5
Molecular Weight:	401.84
Target:	Autophagy; CDK; HIV
Pathway:	Anti-infection; Autophagy; Cell Cycle/DNA Damage
Solubility:	DMSO : 33.33 mg/mL (82.94 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

Flavopiridol (Alvocidib) is a broad spectrum and competitive inhibitor of **CDKs**, inhibiting CDK1, CDK2, CDK4 with **IC_{50}**s of 30, 170, 100 nM, respectively. IC50 & Target: IC50: 30 nM (CDK1), 170 nM (CDK2), 100 nM (CDK4)[3] **In Vitro:** Flavopiridol (2 μM) robustly induces a distinct pattern of ER stress in CLL cells that contributes to cell death through IRE1-mediated activation of ASK1 and possibly downstream caspases[1]. Flavopiridol results in potent upregulation of a number of PRGs in treatments lasting 4-24 h. Flavopiridol has and immediate and long-term effect on the expression of several PRGs. In serum starved cells re-stimulated with serum, flavopiridol also inhibits the expression of these genes, but subsequently, JUNB, GADD45B and EGR1 are upregulated in the presence of flavopiridol[2].

PROTOCOL (Extracted from published papers and Only for reference)

Kinase Assay: [1]Briefly, lysates containing approximately 3×10^6 cells are incubated with 50 μM LEVD-AFC (caspase 4 substrate) or LETD-AFC (caspase 8 substrate) containing 10 mM dithiothretiol (DTT). caspase 4 activity is measured one hour after addition of substrate and caspase 8 activity is measured 30 minutes after addition of substrate. Release of free AFC is measured with a Beckman-Coulter DTX 880 multimode detector. **Cell Assay:** [1]The cells treated with flavopiridol are washed after 4 hours with PBS and resuspended in regular growth medium (RPMI 1640) supplemented with 10% human serum and antibiotics for the remainder of the incubation time. In the case of flavopiridol/chloroquine samples, chloroquine is re-added in the fresh media after flavopiridol is washed at 4 hours. For all the other conditions, cells are incubated with the respective drugs for 24 hours continuously.

References:

[1]. Mahoney E, et al. ER stress and autophagy: new discoveries in the mechanism of action and drug resistance of the cyclin-dependent kinase inhibitor flavopiridol.Blood. 2012 Aug 9;120(6):1262-1273.

[2]. Keskin H, et al. Complex effects of flavopiridol on the expression of primary response genes. Cell Div. 2012 Mar 29;7:11.

[3]. Kim KS, et al.Thio- and oxoflavopiridols, cyclin-dependent kinase 1-selective inhibitors: synthesis and biological effects. J Med Chem. 2000 Nov 2;43(22):4126-34.

CAIndexNames:

4H-1-Benzopyran-4-one, 2-(2-chlorophenyl)-5,7-dihydroxy-8-[(3S,4R)-3-hydroxy-1-methyl-4-piperidinyl]-

SMILES:

O=C1C2=C(C=C(C([C@]3([H])[C@H](O)CN(C)CC3)=C2OC(C4=CC=CC=C4Cl)=C1)O)O

Caution: Product has not been fully validated for medical applications. For research use only.

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